ABSTRACT OF THE DISCLOSURE

The present invention provides a method of screening a compound or its salt, which promotes or inhibits a function of an orphan receptor protein.

The screening method of the present invention is, for example, the following: A method of screening a compound or its salt, which promotes or inhibits a function of an orphan receptor protein, comprising:

(i) measuring a cell stimulating activity to be measured when test compound (a) is brought in contact with cells capable of expressing an orphan receptor or its cell membrane fractions, and when test compound (a) is brought in contact with cells which are not capable of expressing the orphan receptor or its cell membrane fractions, respectively,

(ii) comparing the cell stimulating activities thus measured for each test compound (a), to identify compounds having an agonist activity, and

(iii) ① comparing a cell stimulating activity to be measured when a ligand candidate compound which is selected by considering a common structure of said compound(s) having an agonist activity is brought in contact with said cells capable of expressing the orphan receptor or its cell membrane fractions, and a cell stimulating activity to be measured when test compound (b) is brought in contact with said cells capable of expressing the orphan receptor or its cell membrane fractions, and

② measuring the amount of specific binding between said orphan receptor protein and the test compound (b).

That is, according to the present invention, a ligand or its subtype of an orphan receptor protein,

antagonist and highly active agonist can be obtained effectively and securely, by contacting test compounds with cells expressing an orphan receptor protein, its cell membrane fractions or orphan receptor proteins expressed on cells expressing the orphan receptor protein or its cell membrane fractions, measuring orphan receptor protein-mediated cell-stimulating activities of the test compounds, comparing the cell stimulating activities measured for each test compound to identify agonists, and then comparing the structures of the agonists.